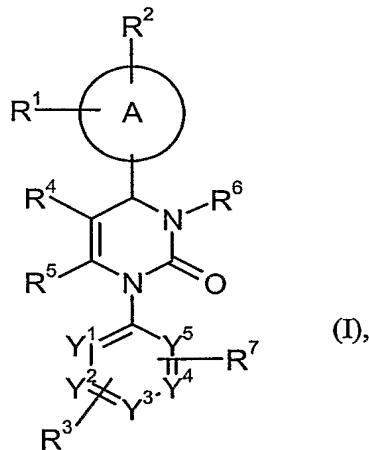


**We claim**

## 1. Compounds of the general formula (I)



wherein

5 A represents an aryl or heteroaryl ring,

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> independently from each other represent hydrogen, halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy or C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein C<sub>1</sub>-C<sub>6</sub>-alkyl and C<sub>1</sub>-C<sub>6</sub>-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C<sub>1</sub>-C<sub>4</sub>-alkoxy,

10 R<sup>4</sup> represents trifluoromethylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub>-alkenoxy carbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>6</sub>-C<sub>10</sub>-arylaminocarbonyl, arylcarbonyl, heteroarylcarbonyl, heterocyclcarbonyl, heteroaryl, heterocycl or cyano, wherein C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl can be further substituted with one to three identical or different radicals selected from the group consisting of C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl, hydroxycarbonyl, aminocarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, N-(C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl)-N-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino, cyano, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, heteroaryl, heterocycl and tri-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-silyl, and wherein heteroarylcarbonyl, heterocyclcarbonyl, heteroaryl and heterocycl can be further substituted with C<sub>1</sub>-C<sub>4</sub>-alkyl,

20 R<sup>5</sup> represents C<sub>1</sub>-C<sub>4</sub>-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>-

alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, amino, mono- and di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, arylamino, hydroxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl and the radical -O-C<sub>1</sub>-C<sub>4</sub>-alkyl-O-C<sub>1</sub>-C<sub>4</sub>-alkyl,

or

5 R<sup>5</sup> represents amino,

R<sup>6</sup> represents

- a group of the formula -T-U wherein

T represents a C<sub>1</sub>-C<sub>6</sub>-alkanediyl or C<sub>2</sub>-C<sub>6</sub>-alkenediyl group

and

10 U represents

- C<sub>6</sub>-C<sub>10</sub>-aryl or 5- or 6-membered heteroaryl each of which is substituted by one, two or three radicals independently selected from the group consisting of halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, 5- or 6-membered heteroaryl and a group of the formula -V-W wherein V represents a bond or a C<sub>1</sub>-C<sub>6</sub>-alkanediyl or C<sub>2</sub>-C<sub>6</sub>-alkenediyl group both of which can be further substituted by C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, and W represents C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl or hydroxycarbonyl,

15

- a group of the formula -C(=O)-NR<sup>a</sup>-SO<sub>2</sub>-R<sup>b</sup> wherein R<sup>a</sup> represents hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl, and R<sup>b</sup> represents C<sub>1</sub>-C<sub>6</sub>-alkyl which can be substituted by trifluoromethyl, or R<sup>b</sup> represents C<sub>6</sub>-C<sub>10</sub>-aryl which can be substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, halogen, cyano, nitro or trifluoromethyl,

20

- a group of the formula -C(=O)-NR<sup>c</sup>R<sup>d</sup> wherein R<sup>c</sup> represents hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl, and R<sup>d</sup> represents C<sub>6</sub>-C<sub>10</sub>-aryl which can be substituted by C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl or hydroxycarbonyl,

25

- a group of the formula -C(=O)-NR<sup>e</sup>-OR<sup>f</sup> wherein R<sup>e</sup> and R<sup>f</sup> independently from each other represent hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl,

or

- C<sub>6</sub>-C<sub>10</sub>-arylalkoxy which, in the aryl part, can be substituted by halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl or hydroxycarbonyl,

or

R<sup>6</sup> represents

- C<sub>3</sub>-C<sub>8</sub>-cycloalkyl which can be substituted by up to three radicals independently selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, oxo, C<sub>1</sub>-C<sub>6</sub>-alkoxy-carbonyl and hydroxycarbonyl,
- C<sub>2</sub>-C<sub>6</sub>-alkenyl which can be substituted by C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl or hydroxycarbonyl,
- C<sub>1</sub>-C<sub>6</sub>-alkyl or C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl which are substituted by C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl-amino,
- C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl which is substituted by phenyl-C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl which for its part, in the phenyl moiety, can be further substituted by halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl or hydroxycarbonyl,

or

- a group of the formula -SO<sub>2</sub>-R<sup>g</sup> wherein R<sup>g</sup> represents C<sub>1</sub>-C<sub>6</sub>-alkyl which can be substituted by trifluoromethyl, or R<sup>g</sup> represents C<sub>6</sub>-C<sub>10</sub>-aryl which can be substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, halogen, cyano, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-carbonyl or hydroxycarbonyl,

R<sup>7</sup> represents halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy or C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein C<sub>1</sub>-C<sub>6</sub>-alkyl and C<sub>1</sub>-C<sub>6</sub>-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C<sub>1</sub>-C<sub>4</sub>-alkoxy,

and

Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup>, Y<sup>4</sup> and Y<sup>5</sup> independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms,

and their salts, hydrates and/or solvates and their tautomeric forms.

2. Compounds of general formula (I) according to Claim 1, wherein

A represents an aryl or heteroaryl ring,

$R^1$ ,  $R^2$  and  $R^3$  independently from each other represent hydrogen, halogen, nitro, cyano,  $C_1$ - $C_6$ -alkyl, hydroxy or  $C_1$ - $C_6$ -alkoxy, wherein  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and  $C_1$ - $C_4$ -alkoxy,

5       $R^4$  represents  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl,  $C_2$ - $C_6$ -alkenoxy carbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $C_1$ - $C_4$ -alkylaminocarbonyl,  $C_6$ - $C_{10}$ -arylamino carbonyl, heteroaryl carbonyl, heterocyclcarbonyl, heteroaryl, heterocycl or cyano, wherein  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl, mono- and di- $C_1$ - $C_4$ -alkylaminocarbonyl can be further substituted with one to three identical or different radicals selected from the group consisting of  $C_3$ - $C_8$ -cycloalkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- and di- $C_1$ - $C_4$ -alkylaminocarbonyl,  $C_1$ - $C_4$ -alkylcarbonylamino, amino, mono- and di- $C_1$ - $C_4$ -alkylamin, heteroaryl, heterocycl and tri-( $C_1$ - $C_6$ -alkyl)-silyl,

10      $R^5$  represents  $C_1$ - $C_4$ -alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy,  $C_1$ - $C_6$ -alkoxy,  $C_2$ - $C_6$ -alkenoxy,  $C_1$ - $C_6$ -alkylthio, amino, mono- and di- $C_1$ - $C_6$ -alkylamino, arylamino, hydroxycarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl and the radical -O- $C_1$ - $C_4$ -alkyl-O- $C_1$ - $C_4$ -alkyl,

15      $R^6$  represents

20     - a group of the formula -T-U wherein

T       represents a  $C_1$ - $C_4$ -alkanediyl or  $C_2$ - $C_4$ -alkenediyl group

and

U       represents

25     •  $C_6$ - $C_{10}$ -aryl or 5- or 6-membered heteroaryl each of which is substituted by one, two or three radicals independently selected from the group consisting of halogen,  $C_1$ - $C_6$ -alkyl, 5- or 6-membered heteroaryl and a group of the formula -V-W wherein V represents a bond, a  $C_2$ - $C_6$ -alkenediyl group or a  $C_1$ - $C_6$ -alkanediyl group the latter of which can be further substituted by  $C_3$ - $C_8$ -cycloalkyl, and W represents  $C_1$ - $C_6$ -alkoxycarbonyl or hydroxycarbonyl,

- a group of the formula  $-C(=O)-NH-SO_2-R^b$  wherein  $R^b$  represents  $C_1-C_6$ -alkyl which can be substituted by trifluoromethyl, or  $R^b$  represents  $C_6-C_{10}$ -aryl which can be substituted by  $C_1-C_6$ -alkyl, halogen, cyano, nitro or trifluoromethyl,

5

or

- a group of the formula  $-C(=O)-NHR^d$  wherein  $R^d$  represents  $C_6-C_{10}$ -aryl which can be substituted by  $C_1-C_6$ -alkoxycarbonyl or hydroxycarbonyl,

or

 $R^6$  represents

10

- $C_3-C_8$ -cycloalkyl which can be substituted by up to three radicals independently selected from the group consisting of  $C_1-C_6$ -alkyl, hydroxy, oxo,  $C_1-C_6$ -alkoxy-carbonyl and hydroxycarbonyl,

or

- $C_2-C_6$ -alkenyl which can be substituted by  $C_1-C_6$ -alkoxycarbonyl or hydroxycarbonyl,

15

 $R^7$  represents halogen, nitro, cyano,  $C_1-C_6$ -alkyl, hydroxy or  $C_1-C_6$ -alkoxy, wherein  $C_1-C_6$ -alkyl and  $C_1-C_6$ -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and  $C_1-C_4$ -alkoxy,

20

and

 $Y^1, Y^2, Y^3, Y^4$  and  $Y^5$  independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms.

## 3. Compounds of general formula (I) according to Claim 1, wherein

A represents a phenyl, naphthyl or pyridyl ring,

25

 $R^1, R^2$  and  $R^3$  independently from each other represent hydrogen, fluoro, chloro, bromo, nitro, cyano, methyl, ethyl, trifluoromethyl or trifluoromethoxy,

5

$R^4$  represents  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl, allyloxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- $C_1$ - $C_4$ -alkylaminocarbonyl, furylcarbonyl, pyridylcarbonyl or cyano, wherein  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl and mono- $C_1$ - $C_4$ -alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of  $C_3$ - $C_6$ -cycloalkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkoxycarbonyl, hydroxycarbonyl, amino, mono- and di- $C_1$ - $C_4$ -alkylamino,

10

$R^5$  represents methyl or ethyl,

$R^6$  represents

— a group of the formula -T—U wherein

T represents a  $C_1$ - $C_4$ -alkanediyl group

and

U represents

15

- phenyl, furyl, thienyl, oxazolyl, thiazolyl or pyridyl each of which is substituted by one or two radicals independently selected from the group consisting of fluoro, chloro, bromo,  $C_1$ - $C_4$ -alkyl, thienyl, pyridyl and a group of the formula -V—W wherein V represents a bond or a  $C_1$ - $C_4$ -alkanediyl or  $C_2$ - $C_4$ -alkenediyl group, and W represents  $C_1$ - $C_4$ -alkoxycarbonyl or hydroxycarbonyl,

20

- a group of the formula -C(=O)-NH-SO<sub>2</sub>-R<sup>b</sup> wherein R<sup>b</sup> represents  $C_1$ - $C_4$ -alkyl which can be substituted by trifluoromethyl, or R<sup>b</sup> represents phenyl which can be substituted by  $C_1$ - $C_4$ -alkyl, fluoro, chloro, bromo, cyano, nitro or trifluoromethyl,

or

25

- a group of the formula -C(=O)-NHR<sup>d</sup> wherein R<sup>d</sup> represents phenyl which can be substituted by  $C_1$ - $C_4$ -alkoxycarbonyl or hydroxycarbonyl,

or

$R^6$  represents

–  $C_3$ - $C_6$ -cycloalkyl which can be substituted by up to two radicals independently selected from the group consisting of  $C_1$ - $C_4$ -alkyl, hydroxy, oxo,  $C_1$ - $C_4$ -alkoxy-carbonyl and hydroxycarbonyl,

or

5 –  $C_2$ - $C_4$ -alkenyl which is substituted by  $C_1$ - $C_4$ -alkoxycarbonyl or hydroxycarbonyl,

$R^7$  represents halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, methyl or ethyl,

and

$Y^1$ ,  $Y^2$ ,  $Y^3$ ,  $Y^4$  and  $Y^5$  each represent CH.

10 4. Compounds of general formula (I) according to Claim 1, wherein

$A$  represents a phenyl or a pyridyl ring,

$R^1$  and  $R^3$  each represent hydrogen,

$R^2$  represents fluoro, chloro, bromo, nitro or cyano,

15  $R^4$  represents cyano, hydroxycarbonyl, furylcarbonyl, pyridylcarbonyl,  $C_1$ - $C_4$ -alkyl-carbonyl or  $C_1$ - $C_4$ -alkoxycarbonyl, wherein  $C_1$ - $C_4$ -alkylcarbonyl and  $C_1$ - $C_4$ -alkoxy-carbonyl can be substituted with a radical selected from the group consisting of hydroxy,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkoxycarbonyl, hydroxycarbonyl, mono- and di- $C_1$ - $C_4$ -alkylamino,

$R^5$  represents methyl,

20  $R^6$  represents

– a group of the formula -T-U wherein

$T$  represents a - $CH_2$ - group

and

$U$  represents

- phenyl, furyl or oxazolyl each of which is substituted by one or two radicals independently selected from the group consisting of fluoro, chloro, bromo, C<sub>1</sub>-C<sub>4</sub>-alkyl and a group of the formula -V-W wherein V represents a bond, a -CH<sub>2</sub>- group or a -CH=CH- group, and W represents C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl or hydroxycarbonyl,

5

- a group of the formula -C(=O)-NH-SO<sub>2</sub>-R<sup>b</sup> wherein R<sup>b</sup> represents C<sub>1</sub>-C<sub>4</sub>-alkyl which can be substituted by trifluoromethyl, or R<sup>b</sup> represents phenyl which can be substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl, fluoro, chloro, bromo, cyano, nitro or trifluoromethyl,

10

or

- a group of the formula -C(=O)-NHR<sup>d</sup> wherein R<sup>d</sup> represents phenyl which can be substituted by C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl or hydroxycarbonyl,

or

R<sup>6</sup> represents

15

- C<sub>3</sub>-C<sub>6</sub>-cycloalkyl which can be substituted by up to two radicals independently selected from the group consisting of C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, oxo, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl and hydroxycarbonyl,

or

20

- a -CH=CH- group which is substituted by C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl or hydroxycarbonyl,

R<sup>7</sup> represents trifluoromethyl or nitro,

and

Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup>, Y<sup>4</sup> and Y<sup>5</sup> each represent CH.

25

5. Compounds of general formula (I) according to any of the preceding claims, wherein A is phenyl or pyridyl.
6. Compounds of general formula (I) according to any of the preceding claims, wherein R<sup>1</sup> is hydrogen.

7. Compounds of general formula (I) according to any of the preceding claims, wherein R<sup>2</sup> is cyano.

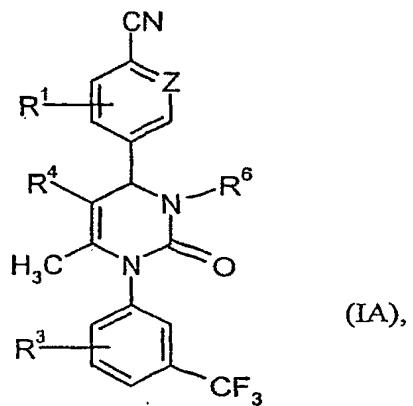
8. Compounds of general formula (I) according to any of the preceding claims, wherein R<sup>3</sup> is hydrogen.

5 9. Compounds of general formula (I) according to any of the preceding claims, wherein R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl optionally substituted by hydroxy, or wherein R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, hydroxycarbonyl or cyano.

10. Compounds of general formula (I) according to any of the preceding claims, wherein R<sup>5</sup> is methyl.

10 11. Compounds of general formula (I) according to any of the preceding claims, wherein R<sup>7</sup> is trifluoromethyl or nitro.

12. Compounds of general formula (IA)



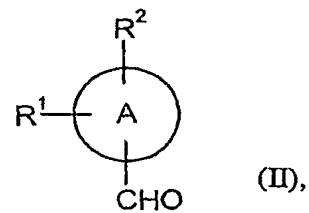
wherein

15 Z represents CH or N, and

R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> have the meaning indicated in any of the preceding claims.

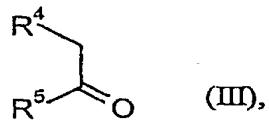
13  
12. Process for synthesizing the compounds of general formula (I) according to Claim 1, by condensing compounds of general formula (II)

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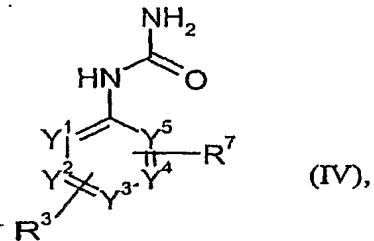
wherein  $A$ ,  $R^1$  and  $R^2$  have the meaning indicated in Claim 1,

with compounds of general formula (III)



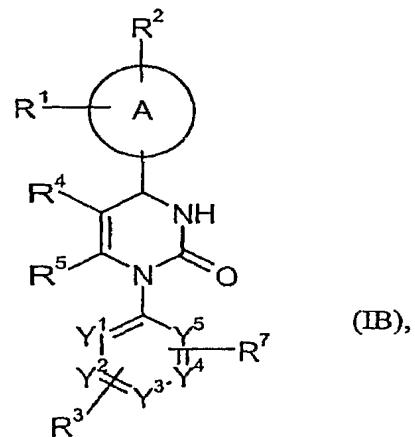
5 wherein  $R^4$  and  $R^5$  have the meaning indicated in Claim 1,

and compounds of general formula (IV)



wherein  $R^3$ ,  $R^7$ , and  $Y^1$  to  $Y^5$  have the meaning indicated in Claim 1,

to give compounds of the general formula (IB)



wherein A, R<sup>1</sup> to R<sup>5</sup>, R<sup>7</sup>, and Y<sup>1</sup> to Y<sup>5</sup> have the meaning indicated in Claim 1,

followed by reaction of the compounds of general formula (IB) with compounds of the general formula (V)

R<sup>6</sup>-X (V),

5 wherein

R<sup>6</sup> has the meaning indicated in Claim 1, and

X represents a leaving group,

in the presence of a base.

14. 13. The composition containing at least one compound of general formula (I) according to  
10 Claim 1 and a pharmacologically acceptable diluent.

15. 14. A composition according to Claim 14 for the treatment of acute and chronic inflammatory,  
ischaemic and/or remodelling processes.

16. 15. The process for the preparation of compositions according to Claim 14 and 15 characterized  
15 in that the compounds of general formula (I) according to Claim 1 together with customary  
auxiliaries are brought into a suitable application form.

17. 16. Use of the compounds of general formula (I) according to Claim 1 for the preparation of  
medicaments.

18. 17. Use according to Claim 17 for the preparation of medicaments for the treatment of acute  
and chronic inflammatory, ischaemic and/or remodelling processes.

20. 19. Use according to Claim 18, wherein the process is chronic obstructive pulmonary disease,  
acute coronary syndrome, acute myocardial infarction or development of heart failure.

25. 20. Process for controlling chronic obstructive pulmonary disease, acute coronary syndrome,  
acute myocardial infarction or development of heart failure in humans and animals by  
administration of a neutrophil elastase inhibitory amount of at least one compound of  
general formula (I) according to Claim 1.